Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/RU2004/000208	International filing date *(day/month/year)* 31.05.2004	Priority date *(day/month/year)* 23.06.2003
International Patent Classification (IPC) or national classification and IPC		
Applicant RASNETSOV, Lev Davidovich		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of _____ sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☐ *(sent to the applicant and to the International Bureau)* a total of _____ sheets, as follows:
      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s))
      _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).
4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	Box No. II	Priority
☐	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/RU Facsimile No.	Authorized officer Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/RU2004/000208

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☒ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

☐ international search (Rule 12.3 and 23.1(b))

☐ publication of the international application (Rule 12.4)

☐ international preliminary examination (Rule 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☐ the international application as originally filed/furnished

☐ the description:

pages _____ as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the claims:

nos. _____ as originally filed/furnished

nos.* _____ as amended (together with any statement) under Article 19

nos.* _____ received by this Authority on _____

nos.* _____ received by this Authority on _____

☐ the drawings:

sheets _____ as originally filed/furnished

sheets* _____ received by this Authority on _____

sheets* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/RU2004/000208

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-5	YES
	Claims		NO
Inventive step (IS)	Claims	1, 3-5	YES
	Claims	2	NO
Industrial applicability (IA)	Claims	1-5	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

D1: RU 2196602 C1

D2: RU 2124022 C1

D3: US 5811460 A

D4: US 6204391 A

D5: US 2003027870 A

D1 describes an agent for inhibiting HIV and CMV infections, and a method for inhibition thereof. Compounds based on aminoacid or dipeptide derivatives of fullerene are used as inhibiting agent. Sodium salts of monofullerene aminocaproic acid and monofullerene aminobutyric acid are used as the aminoacid derivative of fullerene. The method for producing fullerene derivatives consists in adding to a solution of fullerene in o-dichlorbenzene an aqueous solution of aminoacid sodium or potassium salts (in particular aminocaproic, aminobutyric, etc.) and 18-crown-6, washing with water and producing a derivative in the form of a hard, powder-like substance.

A synthesis is known from D2 of N-(monohydro)-fullerene aminocaproic acid $HC_{60}NH(CH_2)_5COOH$. It is

produced by adding to a solution of 0.03 g (0.0414) fullerene in o-dichlorbenzene an aqueous solution 0498 (2.07 mmole) of potassium salt of aminocaproic acid and 0.5465 grams (2.07 mmole) 18-crown-6 in a ratio of 1:1. The quantity of aminoacids exceeds the quantity of fullerene 50 times. The reaction mass is mixed for 6 to 8 hours at 60°C. The solvents are distilled, the residue is treated with saturated NaCI solution and washed with water.

D3-D4 describe water soluble derivatives of fullerene (C60) having antiviral properties, which are used for inhibiting human retroviral infections.

The use of polyethyleneglycols as solubilisers is known from D5.

D5 concerns water-soluble derivatives of fullerene which have substitutes containing one or more amine groups, amine cation groups, and which modulate NOS synthetase and/or calmodulin activity, and also a method for inhibiting NOS activity by contacting one or more fullerene derivatives with cells or tissues which inhibit NOS activity.

An agent for inhibiting propagation of envelope viruses consisting of water-soluble compounds of fullerene-polycarbonic anions of the general formula presented in claim 1 is neither known nor obvious from the prior art, therefore claims 1 and 3-5 meet the requirements of novelty and inventive step. The agent is produced by nucleophilic attachment of a fullerene aminoacid by a plurality

of double bonds with two or more aminoacids. The compound possesses improved water solubility over equivalents, which ensures highly effective action on infected cells and low toxicity and is used in pharmaceutical compositions (claims 3-4) for suppressing propagation of envelope viruses (claim 5) when treating diseases caused by HIV/AIDS, herpes infections, viral hepatitis C.

Claim 2 discloses several variants of the method for producing an agent for inhibiting the propagation of envelope viruses. The prior art closest to the claimed method is the method for producing a fullerene derivative disclosed in D2. One of the variants of the claimed method, which presupposes the use of 18-crown-6 as solubiliser, differs from the method known from D2 only in that the quantity of aminoacid must exceed the quantity of fullerene more than 50 times, whereas in D2 a 50-fold excess of aminoacid is used. However, it is obvious to a person skilled in the art that in case the 50-fold excess is insignificantly exceeded, for example in case of an excess of 50.1 times, the compound known from D2 will be produced. Therefore, claim 2 in this variant does not meet the requirement of inventive step.

As regards the remaining distinctive features of the method, a method is known from D1 for producing an aminoacid derivative of fullerene with use of aminoacid in the form of potassium salt, and it is known from D5 to use polyethyleneglycols as solubilisers. Therefore claim 2 in respect of the other variants also does

Box No. V — Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

not meet the requirement of inventive step.

Claims 1-5 meet the requirement of industrial applicability.